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ABSTRACT

NOVEL IL-5 INHIBITING 6-AZAURACIL DERIVATIVES

The present invention is concerned with the compounds of formula

$$\begin{array}{c|c}
 & R^4)_q & R^1 & R^5)_p \\
 & X & NH & NH & NH
\end{array}$$
(I)

the N-oxides, the pharmaceutically acceptable addition salts and the stereochemically isomeric forms thereof, p and q are 0, 1, 2, 3 or 4 and q is also 5; X is O. S. NR³ or a direct bond; R¹ is hydrogen, hydroxy, halo, optionally substituted amino, optionally substituted C₁₋₆alkyl, C₁₋₆alkyloxy, C₃₋₇cycloalkyl or aryl; R² is aryl, Het¹, C₃₋₇cycloalkyl, optionally substituted C₁₋₆alkyl; and if X is O, S or NR³, then R² may also be a carbonyl or thiocarbonyl linked substituent; R³ is hydrogen or C₁₋₄alkyl; R⁴ and R⁵ independently are optionally substituted C₁₋₆alkyl, halo, hydroxy, mercapto, C₁-6alkyloxy, C₁-6alkylthio, C₁-6alkylcarbonyloxy, aryl, cyano, nitro, Het³, R⁶ or NR⁷R⁸; R⁶ is substituted sulfonyl or sulfinyl; R⁷ and R⁸ are hydrogen, optionally substituted C₁₋₄alkyl, aryl, a carbonyl or thiocarbonyl linked substituent, C₃₋₇cycloalkyl, Het3 and R6; R9 and R10 are each independently selected from hydrogen, optionally substituted C₁₋₄alkyl, phenyl, a carbonyl or thiocarbonyl linked substituent, C₃₋ 7cycloalkyl, Het³ and R⁶; R¹¹ is hydroxy, mercapto, cyano, nitro, halo, trihalomethyl, C₁-4alkyloxy, carboxyl, C₁-4alkyloxycarbonyl, trihaloC₁-4alkylsulfonyloxy, R⁶, NR⁷R⁸, C(=O)NR⁷R⁸, aryl, aryloxy, arylcarbonyl, C₃₋₇cycloalkyl, C₃₋₇cycloalkyloxy, phthalimide-2-yl, Het³ and C(=0)Het³; R¹² and R¹³ are each independently selected from hydrogen, optionally substituted C₁₋₄alkyl, phenyl, a carbonyl or thiocarbonyl linked substituent, C₃₋ 7cycloalkyl and R⁶; aryl is optionally substituted phenyl; Het¹, Het² and Het³ are optionally substituted heterocycles; to processes for their preparation and compositions comprising them. It further relates to their use as a medicine.